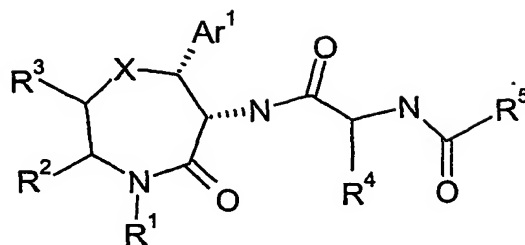


Claims:

1. A compound of formula (I):



(I)

wherein:

X is C, O, NR¹, SO₂ or S;

- Ar¹ is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, 2, or 3 R^e moieties, said ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

R¹ is H, C₁₋₃alkyl, C₃₋₆cycloalkyl, C₁₋₆alkyl, C₃₋₆alkenyl, C₃₋₆alkynyl, C₃₋₆cycloalkyl, C₂₋₄alkylNR^aR^b, C₁₋₄alkylC(=O)R^d; or C₁₋₃alkylphenyl substituted with 0, 1, 2 or 3 R^e;

- R^a and R^b are at each occurrence independently selected from H, C₁₋₄alkyl or C₃₋₆cycloalkyl, or R^a and R^b and the N to which they are attached in combination form a 5 or 6-membered N-linked heterocycle having 2 nitrogen atoms, wherein the non-linked nitrogen is substituted with R^c or 1 nitrogen and 1 oxygen, ring atoms wherein there is no non-linked nitrogen;

- R^c is, at each occurrence independently selected from H, C₁₋₃alkyl, or substituted phenyl with 0, 1, 2, or 3 R^e;

R^d is, at each occurrence independently selected from C₁₋₃alkyl, hydroxy, C₁₋₃alkoxy, or NR^aR^b;

- R^e is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CN, NO₂, CF₃, C₁₋₆alkyl, or C₁₋₆alkoxy;

R² and R³ are at each occurrence independently selected from H, C₁₋₆alkyl, C₄₋₆cycloalkyl, aryl, or heteroaryl, or R² and R³ in combination form a fused phenyl or cyclohexyl moiety that may be substituted with 0, 1 or 2 R^f moieties,

R^f is NO₂, F, Cl, Br, I, CF₃, CN, C₁₋₆alkyl, or C₁₋₆alkoxy;

R^4 is H, CHR^7R^8 , 5- or 6- membered cycloalkyl, 5- or 6- membered heterocyclic, 5 or 6- membered aromatic ring optionally substituted with 0, 1, or 2 R^f moieties, said heterocyclic ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

5 R^5 is C_{1-3} alkyl R^9 or $CH(OH)R^{10}$;

R^7 and R^8 are, at each occurrence are independently selected from H, C_{1-4} alkyl, OH, SH, CH_2SCH_3 , $CONH_2$, CH_2CONH_2 , CO_2H , CH_2CO_2H , $(CH_2)_3NHCH(NH_2)_2$, C_{1-4} alkylamine, indole, imidazole, phenyl or hydroxyphenyl or R^7 and R^8 in combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2 R^f moieties
10 said heterocyclic ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

R^9 is phenyl substituted with 0, 1, 2 or 3 R^e ;

R^{10} is alkyl or R^9 ;

or a pharmaceutically acceptable salt thereof.

15

2. A compound of claim 1,
wherein:

X is C, O, NR^1 , SO_2 or S;

Ar^1 is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0,
20 1, 2, or 3 R^e moieties, said ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 1 oxygen and 1 sulfur atom;

R^1 is H, C_{1-3} alkyl C_{3-6} cycloalkyl, C_{1-6} alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-6} cycloalkyl, C_{2-4} alkyl NR^aR^b , C_{1-4} alkyl $C(=O)R^d$; or C_{1-3} alkylphenyl substituted with 0, 1, or 2 R^e ;

R^a and R^b are, at each occurrence independently selected from H, C_{1-4} alkyl or C_{3-6} cycloalkyl, or R^a and R^b and the N to which they are attached in combination form a 6-
25 membered N-linked heterocycle having 2 nitrogen atoms, wherein the non-linked nitrogen is substituted with R^e or 1 nitrogen and 1 oxygen, ring atoms wherein there is no non-linked nitrogen;

R^e is, at each occurrence independently selected from H, C_{1-3} alkyl, or phenyl;

30 R^d is, at each occurrence independently selected from C_{1-3} alkyl, or NR^aR^b ;

R^e is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CN, NO_2 , CF_3 , C_{1-3} alkyl, or C_{1-3} alkoxy;

R^2 and R^3 are at each occurrence independently selected from H, C_{1-6} alkyl, C_{4-6} cycloalkyl, or aryl, or R^2 and R^3 in combination form a fused phenyl moiety that may be substituted with 0, 1 or 2 R^f moieties,

R^f is NO_2 , F, Cl, Br, I, CF_3 , CN, C_{1-3} alkyl, or C_{1-3} alkoxy;

5 R^4 is H, CHR^7R^8 , 6- membered cycloalkyl, or 6- membered heterocyclic, or 6- membered aromatic ring optionally substituted with 0, 1, or 2 R^f moieties, said heterocyclic ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

R^5 is C_{1-3} alkyl R^9 or $CH(OH)R^{10}$;

10 R^7 and R^8 are, at each occurrence independently selected from H, C_{1-4} alkyl, OH, $CONH_2$, CH_2CONH_2 , CO_2H , CH_2CO_2H , $(CH_2)_3NHCH(NH_2)_2$, C_{1-4} alkylamine, indole, imidazole, phenyl or hydroxyphenyl or R^7 and R^8 in combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2 R^f moieties said heterocyclic ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no
15 more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

R^9 is phenyl substituted with 0, 1, or 2 R^c ;

R^{10} is alkyl or R^9 .

3. A compound of claim 1,

20 wherein:

X is C, O, NR^1 , SO_2 or S;

Ar^1 is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, 2, or 3 R^c moieties, said ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 1 oxygen and 1 sulfur atom;

25 R^1 is H, C_{1-3} alkyl C_{3-6} cycloalkyl, C_{1-6} alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl C_{3-6} cycloalkyl, C_{2-4} alkyl NR^aR^b , C_{1-4} alkyl $C(=O)R^d$; or C_{1-3} alkylphenyl substituted with 0, 1, or 2 R^c ;

R^a and R^b are, at each occurrence independently selected from H, C_{1-4} alkyl or C_{3-6} cycloalkyl, or R^a and R^b and the N to which they are attached in combination form a 5-membered N-linked heterocycle having 2 nitrogen atoms, wherein the non-linked nitrogen is
30 substituted with R^c or 1 nitrogen and 1 oxygen, ring atoms wherein there is no non-linked nitrogen;

R^c is, at each occurrence independently selected from H, C_{1-3} alkyl, phenyl;

R^d is, at each occurrence independently selected from C_{1-3} alkyl or NR^aR^b ;

R^e is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CN, NO₂, CF₃, C₁₋₆alkyl, or C₁₋₆alkoxy;

R^2 and R^3 are at each occurrence independently selected from H, C₁₋₆alkyl, C₄₋₆cycloalkyl or aryl or R^2 and R^3 in combination form a fused phenyl moiety that may be substituted with 0, 1 or 2 R^f moieties,

R^f is H, NO₂, F, Cl, Br, I, CF₃, C₁₋₆alkyl, or C₁₋₆alkoxy;

R^4 is H, CHR⁷R⁸, or 6-membered heterocyclic, or 6-membered aromatic ring optionally substituted with 0, 1, or 2 R^f moieties, said heterocyclic ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

R^4 is H or CHR⁷R⁸;

R^5 is C₁₋₃alkylR⁹ or CH(OH)R¹⁰;

n is 0, 1 or 2;

R^7 and R^8 are, at each occurrence independently selected from H, C₁₋₄alkyl, OH, CONH₂, CH₂CONH₂, CO₂H, CH₂CO₂H, (CH₂)₃NHCH(NH₂)₂, C₁₋₄alkylamine, indole, imidazole, phenyl or hydroxyphenyl or R^7 and R^8 in combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2 R^f moieties said heterocyclic ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms;

R^9 is phenyl substituted with 1, or 2 R^e ;

R^{10} is alkyl or phenyl substituted with 1, or 2 R^e .

4. A compound of claim 1,
wherein:

X is C, O, NR¹, SO₂ or S;

Ar¹ is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, 2, or 3 R^e moieties, said ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms, but no more than 1 oxygen and 1 sulfur atom;

R^1 is H, C₁₋₃alkylC₃₋₆cycloalkyl, C₁₋₆alkyl, C₃₋₆alkenyl, C₃₋₆alkynyl C₃₋₆cycloalkyl, C₂₋₄alkylNR^aR^b, C₁₋₄alkylC(=O)R^d; or C₁₋₃alkylphenyl substituted with 0, or 1 R^e ;

R^a and R^b are, at each occurrence independently selected from H, C₁₋₄alkyl or C₅₋₆cycloalkyl, or R^a and R^b and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 2 nitrogen atoms, wherein the non-linked nitrogen is

substituted with R^c or 1 nitrogen and 1 oxygen, ring atoms wherein there is no non-linked nitrogen;

R^c is, at each occurrence independently selected from H, C₁₋₃alkyl;

R^d is, at each occurrence independently selected from C₁₋₃alkyl;

5 R^e is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CN, NO₂, CF₃, C₁₋₆alkyl;

R² and R³ are at each occurrence independently selected from H, C₁₋₆alkyl, or R² and R³ in combination form a fused phenyl moiety that may be substituted with 0, 1 or 2 R^f moieties,

10 R^f is H, F, Cl, Br, I, CF₃, C₁₋₆alkyl;

R⁴ is H, CHR⁷R⁸, or 6- membered heterocyclic, or 6- membered aromatic ring optionally substituted with 0, 1, or 2 R^f moieties, said heterocyclic ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms;

R⁵ is C₁₋₃alkylR⁹ or CH(OH)R¹⁰;

15 n is 0, 1 or 2;

R⁷ and R⁸ are, at each occurrence independently selected from H, C₁₋₄alkyl, OH, CONH₂, CH₂CONH₂, CO₂H, CH₂CO₂H, (CH₂)₃NHCH(NH₂)₂, C₁₋₄alkylamine, indole, imidazole, phenyl or hydroxyphenyl or R⁷ and R⁸ in combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2 R^f moieties said heterocyclic ring having 0, 1, or 2 nitrogen, or oxygen atoms;

20 R⁹ is phenyl substituted with 1, or 2 R^e;

R¹⁰ is alkyl or R⁹.

5. A compound of claim 1, wherein:

25 X is C, O, SO₂ or S;

Ar¹ is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, or 2 R^e moieties, said ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms;

R¹ is H, C₁₋₃alkylC₃₋₆cycloalkyl, C₁₋₆alkyl, C₃₋₆alkenyl, C₃₋₆alkynyl C₃₋₆cycloalkyl, C₂₋₄alkylNR^aR^b, C₁₋₄alkylC(=O)R^d;

30 R^a and R^b are, at each occurrence independently selected from H, C₁₋₄alkyl or C₅₋₆cycloalkyl, or R^a and R^b and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 2 nitrogen atoms, wherein the non-linked nitrogen is

substituted with R^c or 1 nitrogen and 1 oxygen, ring atoms wherein there is no non-linked nitrogen;

R^d is, at each occurrence independently selected from C₁₋₃alkyl;

R^e is, at each occurrence independently selected from H, OH, F, Cl, Br, I, NO₂, CF₃,
5 or C₁₋₆alkyl;

R² and R³ are at each occurrence independently selected from C₁₋₆alkyl or R² and R³ in combination form a fused phenyl moiety that may be substituted with 0, 1 or 2 R^f moieties,

R^f is H, F, Cl, Br, I, CF₃;

R⁴ is H, CHR⁷R⁸, or 6- membered heterocyclic, or 6- membered aromatic ring
10 optionally substituted with 0, 1, or 2 R^f moieties, said heterocyclic ring having 0, 1, or 2 nitrogen, or oxygen atoms;

R⁵ is C₁₋₃alkylR⁹ or CH(OH)R¹⁰;

R⁷ and R⁸ are, at each occurrence independently selected from H, C₁₋₄alkyl, OH, CONH₂, CH₂CONH₂, CO₂H, C₁₋₄alkylamine, phenyl or hydroxyphenyl or R⁷ and R⁸ in
15 combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2 R^f moieties said heterocyclic ring having 0, 1, or 2 nitrogen, or oxygen atoms;

R⁹ is phenyl substituted with 1, or 2 R^e;

R¹⁰ is alkyl or R⁹.

20 6. A compound of claim 1, wherein:

X is C, O, SO₂ or S;

Ar¹ is a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, or 2 R^e moieties, said ring having 0, or 1 nitrogen, oxygen or sulfur atoms;

R¹ is H, C₁₋₃alkylC₃₋₆cycloalkyl, C₁₋₆alkyl, C₃₋₆alkenyl, C₃₋₆alkynyl C₃₋₆cycloalkyl,
25 C₂₋₄alkylNR^aR^b, C₁₋₄alkylC(=O)R^d;

R^a and R^b are, at each occurrence independently selected from H, C₁₋₄alkyl or C₅₋₆cycloalkyl or R^a and R^b and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 1 nitrogen and 1 oxygen, ring atom, wherein there is no non-linked nitrogen;

30 R^d is, at each occurrence independently selected from C₁₋₃alkyl;

R^e is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CF₃;

R² and R³ are combined to form a fused phenyl moiety substituted with 0, 1 or 2 R^f moieties,

R^f is H, F, Cl, Br, I, or CF_3 ;

R^4 is H, CHR^7R^8 , or 6-membered heterocyclic, or 6-membered aromatic ring optionally substituted with 0, 1, or 2 R^f moieties, said heterocyclic ring having 0, or 1, nitrogen, or oxygen atoms;

5 R^5 is $C_{1-3}alkylR^9$ or $CH(OH)R^{10}$;

R^7 and R^8 are, at each occurrence independently selected from H, OH, or R^7 and R^8 in combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2 R^f moieties said heterocyclic ring having 0, or 1, nitrogen, or oxygen atoms;

R^9 is phenyl substituted with 2 R^e ;

10 R^{10} is phenyl substituted with 2 R^e .

7. A compound of claim 1, wherein:

X is C, O, or S;

15 Ar^1 is a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, or 2 R^e moieties, said ring having 0, or 1 nitrogen, or oxygen atoms;

R^1 is H, $C_{1-3}alkylC_{3-6}cycloalkyl$, $C_{1-6}alkyl$, $C_{3-6}alkenyl$, $C_{3-6}alkynyl$, $C_{3-6}cycloalkyl$, $C_{2-4}alkylNR^aR^b$;

20 R^a and R^b are, at each occurrence independently selected from H, $C_{1-4}alkyl$ or $C_{5-6}cycloalkyl$ or R^a and R^b and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 1 nitrogen and 1 oxygen, ring atom, wherein there is no non-linked nitrogen;

R^2 and R^3 are combined to form a fused phenyl moiety substituted with 0, 1 or 2 R^f ;

R^e is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CF_3 ;

R^f is F or Cl;

25 R^4 is H, CHR^7R^8 , or 6-membered aromatic ring optionally substituted with 0, 1, or 2 R^f moieties;

R^5 is $C_{1-3}alkylR^9$ or $CH(OH)R^{10}$;

30 R^7 and R^8 are, at each occurrence independently selected from H, OH, or R^7 and R^8 in combination form a 6-membered aromatic ring optionally substituted with 0, 1 or 2 R^f moieties

R^7 and R^8 are, at each occurrence independently selected from H or OH;

R^9 is phenyl substituted with 2 R^e ;

R^{10} is phenyl substituted with 2 R^e .

8. A compound of claim 1, wherein:

X is O or C or S;

Ar¹ is a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, or 2 R^e moieties, said ring having having 0, or 1 nitrogen atom;

R¹ is H, C₁₋₃alkylC₃₋₆cycloalkyl, C₁₋₆alkyl, C₃₋₆alkenyl, C₃₋₆alkynyl C₃₋₆cycloalkyl, C₂₋₄alkylNR^aR^b;

R^a and R^b are, at each occurrence independently selected from H, C₁₋₄alkyl or C₅₋₆cycloalkyl or R^a and R^b and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 1 nitrogen and 1 oxygen, ring atom, wherein there is no non-linked nitrogen;

R² and R³ are combined to form a fused phenyl moiety substituted with 0, 1 or 2 R^f wherein R^f is F or Cl;

R⁴ is H, CH₃, or a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R^f moieties;

R⁵ is C₁₋₃alkylR⁹;

R^e is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CF₃;

R⁹ is phenyl substituted with 2 R^e.

20 9. A compound of claim 1, wherein:

X is O or C;

Ar¹ is a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R^e moieties;

R¹ is H, C₁₋₃alkylC₃₋₆cycloalkyl, C₁₋₆alkyl, C₃₋₆alkenyl, C₃₋₆alkynyl C₃₋₆cycloalkyl, C₂₋₄alkylNR^aR^b;

25 R^a and R^b are, at each occurrence independently selected from H, C₁₋₄alkyl or C₅₋₆cycloalkyl or R^a and R^b and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 1 nitrogen and 1 oxygen, ring atom, wherein there is no non-linked nitrogen;

R² and R³ are combined to form a fused phenyl moiety substituted with 0,1 or 2 R^f wherein R^f is F or Cl;

30 R⁴ is H, CH₃, or a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R^f moieties;

R⁵ is C₁₋₃alkylR⁹;

R^e is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CF₃;

R^g is phenyl substituted with 2 R^e.

10. A compound of claim 1, wherein:

5 X is O;

Ar¹ is a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R^e moieties;

R¹ is C₁₋₃alkylC₃₋₆cycloalkyl, C₁₋₆alkyl, C₃₋₆alkenyl, C₃₋₆alkynyl;

R² and R³ are combined to form a fused phenyl moiety substituted with 0, 1 or 2 R^f wherein R^f is F or Cl;

10 R⁴ is H, CH₃, or a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R^f moieties;

R⁵ is C₁₋₃alkylR^g;

R^e is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CF₃;

R^g is phenyl substituted with 2 R^e.

15

11. A compound of claim 1, wherein X is C, O, SO₂ or S.

12. A compound of claim 1, wherein:

20 Ar¹ is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0 or 1 R^e.

13. A compound of claim 1, wherein:

R¹ is C₁₋₃alkylC₃₋₆cycloalkyl, C₁₋₆alkyl, C₃₋₆alkenyl, C₃₋₆alkynyl.

25 14. A compound of claim 1, wherein:

R^a and R^b are, at each occurrence independently selected from H, C₁₋₄alkyl or C₅₋₆cycloalkyl or R^a and R^b and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 1 nitrogen and 1 oxygen, ring atom, wherein there is no non-linked nitrogen.

30

15. A compound of claim 1, wherein:

R² and R³ are combined to form a fused phenyl moiety substituted with 0, 1 or 2 R^f.

16. A compound of claim 1, wherein R^e is, at each occurrence independently selected from F or Cl.

17. A compound of claim 1, wherein R^f is F or Cl.

5

18. A compound of claim 1, wherein R^4 is H or CHR^7R^8 or a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R^f moieties wherein R^7 and R^8 are, at each occurrence independently selected from H or OH.

10 19. A compound of claim 1, wherein R^4 is a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R^f moieties wherein R^f is halo.

20. A compound of claim 1, wherein R^5 is $C_{1-3}alkylR^9$ or $CH(OH)R^{10}$.

15 21. A compound of claim 1, wherein R^7 and R^8 are, at each occurrence independently selected from H or OH.

22. A compound of claim 1, wherein R^9 is phenyl substituted with 2 R^e .

20 23. A compound of claim 1, wherein R^{10} is phenyl substituted with 2 R^e .

24. A compound of formula (I) selected from:

$N^2-[(3,5\text{-difluorophenyl})acetyl]-N^1-[(2R,3R)\text{-}2\text{-(}2,5\text{-difluorophenyl)}\text{-}4\text{-oxo-}2,3,4,5\text{-tetrahydro-}1,5\text{-benzothiazepin-3-yl}]\text{-L-alaninamide};$

25 $N^1-[(2R,3R)\text{-}5\text{-cyclohexyl-}2\text{-(}2,5\text{-difluorophenyl)}\text{-}4\text{-oxo-}2,3,4,5\text{-tetrahydro-}1,5\text{-benzothiazepin-3-yl}]\text{-}N^2-[(3,5\text{-difluorophenyl})acetyl]\text{-L-alaninamide};$

$N^2-[(3,5\text{-difluorophenyl})acetyl]-N^1-\{(2R,3R)\text{-}2\text{-(}2,5\text{-difluorophenyl)}\text{-}5\text{-[}2\text{-(dimethylamino)ethyl}]\text{-}4\text{-oxo-}2,3,4,5\text{-tetrahydro-}1,5\text{-benzothiazepin-3-yl}\}\text{-L-alaninamide};$

$N^2-[(3,5\text{-difluorophenyl})acetyl]-N^1-[(2R,3R)\text{-}2\text{-(}2,5\text{-difluorophenyl)}\text{-}4\text{-oxo-}2,3,4,5\text{-tetrahydro-}1,5\text{-benzothiazepin-3-yl}]\text{-L-serinamide};$

30

$N^2-[(3,5\text{-difluorophenyl})acetyl]-N^1-[(2R,3R)\text{-}2\text{-(}2,5\text{-difluorophenyl)}\text{-}5\text{-methyl-}4\text{-oxo-}2,3,4,5\text{-tetrahydro-}1,5\text{-benzothiazepin-3-yl}]\text{-L-alaninamide};$

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-alaninamide;

5 N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(3*S*,4*R*)-8-fluoro-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

10 N^1 -[(2*R*,3*R*)-2-(3,4-dichlorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

N^1 -[(2*R*,3*R*)-2-(4-chlorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-2-(4-methylphenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

15 N^1 -[(2*R*,3*R*)-7-chloro-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

N^1 -[(2*R*,3*R*)-7-chloro-5-[2-(dimethylamino)ethyl]-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

20 N^1 -[(2*R*,3*R*)-2-(3-chlorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-2-(3,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-2-(3,5-difluorophenyl)-5-methyl-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

25 N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-2-(2-fluorophenyl)-5-methyl-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

N^1 -[(2*R*,3*R*)-2-(3-chlorophenyl)-5-[2-(dimethylamino)ethyl]-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

30 N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-2-(2,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-D-serinamide;

N^1 -[(2*R*,3*R*)-2-(3-chlorophenyl)-5-methyl-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-5-methyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

N^1 -[(2*R*,3*R*)-5-cyclohexyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

5 N^1 -[(2*R*,3*R*)-7-chloro-5-cyclohexyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(6*R*,7*R*)-7-(1-naphthyl)-5-oxo-1,4-thiazepan-6-yl]-L-alaninamide;

10 (2*S*)-2-{[(3,5-difluorophenyl)acetyl]amino}-N-[(6*R*,7*R*)-7-(1-naphthyl)-5-oxo-1,4-thiazepan-6-yl]-2-phenylacetamide;

(2*S*)-2-hydroxy-4-methyl-N-((1*S*)-2-{[(6*R*,7*R*)-7-(1-naphthyl)-5-oxo-1,4-thiazepan-6-yl]amino}-2-oxo-1-phenylethyl)pentanamide;

(2*S*)-2-hydroxy-4-methyl-N-((1*S*)-2-oxo-2-{[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]amino}-1-phenylethyl)pentanamide;

15 N^2 -[(2*S*)-2-hydroxy-4-methylpentanoyl]- N^1 -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-leucinamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(6*S*,7*R*)-4-methyl-5-oxo-7-phenyl-1,4-oxazepan-6-yl]-L-alaninamide;

20 N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*S*,6*S*,7*R*)-4-methyl-5-oxo-2,7-diphenyl-1,4-oxazepan-6-yl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(6*R*,7*R*)-4-methyl-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(3*R*,6*S*,7*R*)-4-methyl-5-oxo-3,7-diphenyl-1,4-oxazepan-6-yl]-L-alaninamide;;

25 (2*S*)-2-hydroxy-4-methyl-N-((1*S*)-2-{[(6*S*,7*R*)-4-methyl-5-oxo-7-phenyl-1,4-oxazepan-6-yl]amino}-2-oxo-1-phenylethyl)pentanamide;

(2*S*)-2-{[(3,5-difluorophenyl)acetyl]amino}-N-[(6*S*,7*R*)-4-methyl-5-oxo-7-phenyl-1,4-oxazepan-6-yl]-2-phenylacetamide;

30 (2*S*)-2-cyclohexyl-2-{[(3,5-difluorophenyl)acetyl]amino}-N-[(3*R*,6*S*,7*R*)-4-methyl-5-oxo-3,7-diphenyl-1,4-oxazepan-6-yl]acetamide;

(2*S*)-2-{[(3,5-difluorophenyl)acetyl]amino}-N-[(3*R*,6*S*,7*R*)-4-methyl-5-oxo-3,7-diphenyl-1,4-oxazepan-6-yl]-2-phenylacetamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(6*S*,7*R*)-4-(4-methoxybenzyl)-5-oxo-7-phenyl-1,4-oxazepan-6-yl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*S*,5*aR*,9*aR*)-5-methyl-4-oxo-2-phenyldecahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

- 5 (2*S*)-2-{[(3,5-difluorophenyl)acetyl]amino}- N -[(6*S*,7*R*)-4-(4-methoxybenzyl)-5-oxo-7-phenyl-1,4-oxazepan-6-yl]-2-phenylacetamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-2-(4-methoxyphenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

- 10 N^1 -[(2*R*,3*R*)-7-chloro-2-(2,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(2*S*)-2-(3,5-difluorophenyl)-2-hydroxyacetyl]-L-alaninamide;

N^2 -[(2*S*)-2-hydroxy-4-methyl-1-oxopentyl]- N^1 -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*S*)-5-methyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

- 15 N^1 -[(2*R*,3*R*)-7-chloro-2-(2,5-difluorophenyl)-5-methyl-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -{(2*R*,3*S*)-5-[2-(dimethylamino)ethyl]-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl}-L-alaninamide;

- 20 N^1 -[(2*R*,3*R*)-7-chloro-2-(2,5-difluorophenyl)-4-oxo-5-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-phenylalaninamide;

N^2 -[(2*S*)-2-hydroxy-4-methylpentanoyl]- N^1 -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-phenylalaninamide;

- 25 (2*S*)-2-{[(3,5-difluorophenyl)acetyl]amino}- N -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-2-phenylacetamide;

(2*S*)-2-hydroxy-4-methyl- N -((1*S*)-2-oxo-2-{[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]amino}-1-phenylethyl)pentanamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-

- 30 leucinamide;

N^2 -[(2*S*)-2-hydroxy-4-methylpentanoyl]- N^1 -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-leucinamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-valinamide;

N^2 -[(2*S*)-2-hydroxy-4-methylpentanoyl]- N^1 -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-valinamide;

5 N^1 -[(2*R*,3*S*)-7-chloro-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

(2*S*)- N -[(1*S*)-2-[(2*R*,3*S*)-7-chloro-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]amino]-2-oxo-1-phenylethyl-2-hydroxy-4-methylpentanamide;

(2*S*)-2-[(3,5-difluorophenyl)acetyl]amino)- N -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-2-phenylacetamide;

10

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-serinamide;

(2*S*)-2-cyclohexyl-2-[(3,5-difluorophenyl)acetyl]amino)- N -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]acetamide;

15 (2*S*)- N -[(1*S*)-1-cyclohexyl-2-oxo-2-[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]amino)ethyl-2-hydroxy-4-methylpentanamide;

3-cyclohexyl- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*S*)-5-(2-morpholin-4-ylethyl)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

20

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-leucinamide;

(2*S*)-2-[(3,5-difluorophenyl)acetyl]amino)-2-(4-fluorophenyl)- N -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]acetamide;

25 (2*S*)-2-[(cyclohexylacetyl)amino]-2-(4-fluorophenyl)- N -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]acetamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*S*)-4-oxo-2-phenyl-5-prop-2-yn-1-yl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*S*)-7-methoxy-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

30

N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*S*)-5-isopropyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

- methyl [(2*R*,3*S*)-3-(*N*-[(3,5-difluorophenyl)acetyl]-*L*-alanyl) amino)-4-oxo-2-phenyl-3,4-dihydro-1,5-benzoxazepin-5(2*H*)-yl]acetate;
[(2*R*,3*S*)-3-(*N*-[(3,5-difluorophenyl)acetyl]-*L*-alanyl) amino)-4-oxo-2-phenyl-3,4-dihydro-1,5-benzoxazepin-5(2*H*)-yl]acetic acid;
- 5 *N*¹-[(2*R*,3*S*)-5-(cyclopropylmethyl)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*N*²-[(3,5-difluorophenyl)acetyl]-*L*-alaninamide;
*N*¹-[(2*R*,3*S*)-5-(cyclopropylmethyl)-7-methoxy-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*N*²-[(3,5-difluorophenyl)acetyl]-*L*-alaninamide;
*N*¹-[(2*R*,3*S*)-5-(2-azetidin-1-yl-2-oxoethyl)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*N*²-[(3,5-difluorophenyl)acetyl]-*L*-alaninamide;
- 10 *N*²-[(3,5-difluorophenyl)acetyl]-*N*¹-(2*R*,3*S*)-7-fluoro-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*L*-alaninamide;
(2*S*)-*N*-((1*S*)-2-[(2*R*,3*S*)-7-fluoro-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]amino)-2-oxo-1-phenylethyl)-2-hydroxy-4-methylpentanamide;
- 15 *N*²-[(2*R*)-2-(3,5-difluorophenyl)-2-hydroxyacetyl]-*N*¹-[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*L*-alaninamide;
*N*²-[(2*S*)-2-(3,5-difluorophenyl)-2-hydroxyacetyl]-*N*¹-[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*L*-alaninamide;
*N*²-[(3,5-difluorophenyl)acetyl]-*N*¹-[(3*S*,4*R*)-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-*L*-alaninamide;
- 20 *N*²-[(3,5-difluorophenyl)acetyl]-*N*¹-[(3*S*,4*R*)-8-fluoro-1-methyl-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-*L*-alaninamide;
(2*S*)-*N*-((1*S*)-2-[(3*S*,4*R*)-8-fluoro-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]amino)-2-oxo-1-phenylethyl)-2-hydroxy-4-methylpentanamide;
- 25 (2*S*)-2-hydroxy-4-methyl-*N*-((1*S*)-2-oxo-2-[(3*S*,4*R*)-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]amino)-1-phenylethyl)pentanamide;
*N*²-[(3,5-difluorophenyl)acetyl]-*N*¹-[(3*S*,4*R*)-2-oxo-4-phenyl-1-prop-2-yn-1-yl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-*L*-alaninamide;
- 30 *N*¹-[(3*S*,4*R*)-1-(cyclopropylmethyl)-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-*N*²-[(3,5-difluorophenyl)acetyl]-*L*-alaninamide;
*N*²-[(3,5-difluorophenyl)acetyl]-*N*¹-[(3*S*,4*R*)-1-isopropyl-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-*L*-alaninamide;

- N^2 -[(2*S*)-2-hydroxy-4-methyl-1-oxopentyl]- N^1 -[(2*R*,3*R*)-2-(4-methoxyphenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- N^1 -[(2*R*,3*R*)-2-(2-chlorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(2*S*)-2-hydroxy-4-methyl-1-oxopentyl]-L-alaninamide;
- 5 N^1 -[(2*R*,3*R*)-2-(2-chlorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- N^1 -[(2*R*,3*R*)-7-chloro-5-methyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-2-(2-fluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-
- 10 benzothiazepin-3-yl]-L-alaninamide;
- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-2-(4-fluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- N^1 -[(2*R*,3*R*)-7-chloro-2-(2,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- 15 N^2 -[(2*S*)-2-hydroxy-4-methyl-1-oxopentyl]- N^1 -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-alaninamide;
- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*S*,3*R*)-2-(3-methyl-2-thienyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*S*,3*R*)-2-(4-methyl-2-thienyl)-4-oxo-2,3,4,5-tetrahydro-
- 20 1,5-benzothiazepin-3-yl]-L-alaninamide;
- Methyl 5-[(2*S*,3*R*)-3-($\{N$ -[(3,5-difluorophenyl)acetyl]-L-alanyl)amino)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-2-yl]thiophene-3-carboxylate;
- N^1 -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -(phenylacetyl)-L-alaninamide;
- 25 N^1 -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -(2-phenylethyl)-L-alaninamide;
- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*S*,3*R*)-4-oxo-2-(2-thienyl)-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-4-oxo-2-(3-thienyl)-2,3,4,5-tetrahydro-1,5-
- 30 benzothiazepin-3-yl]-L-alaninamide;
- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*S*,3*R*)-2-(2-furyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-2-(3-furyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- N^1 -[(2*S*,3*R*)-2-(5-bromo-2-thienyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- 5 N^1 -[(2*S*,3*R*)-2-(4-bromo-2-thienyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- N -[(3,5-difluorophenyl)acetyl]- N -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-phenylalaninamide;
- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]glycinamide;
- 10 N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-valinamide;
- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-leucinamide;
- 15 N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-methioninamide;
- N^2 -[(3,5-difluorophenyl)acetyl]-3-(1*H*-indol-2-yl)- N^1 -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-a-asparagine;
- 20 N^2 -[(3,5-difluorophenyl)acetyl]- N^1 -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-a-glutamine;
- N^1 -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]- N^2 -(phenylacetyl)-L-alaninamide;
- 25 N^2 -[(2-fluorophenyl)acetyl]- N^1 -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;
- N^2 -[(3-fluorophenyl)acetyl]- N^1 -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;
- N^2 -[(4-fluorophenyl)acetyl]- N^1 -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;
- 30 N^1 -[(2*R*,3*S*,5*aS*,9*aS*)-5-(cyclopropylmethyl)-4-oxo-2-phenyldecahydro-1,5-benzoxazepin-3-yl]- N^2 -[(3,5-difluorophenyl)acetyl]-L-alaninamide.
- or a pharmaceutical acceptable salt thereof.

25. A compound according to any one of claims 1 to 24, for use as a medicament.

26. The use of a compound as defined in any one of claims 1 to 24, in the manufacture of
5 a medicament for the treatment or prophylaxis of disorders associated with β -amyloid
production, Alzheimer's disease, or Down's Syndrome.

27. A method for the treatment of neurological disorders associated with β -amyloid
production comprising administering to a host in need of such treatment a therapeutically
10 effective amount of a compound in any one of claims 1 to 24.

28. A method for inhibiting γ -secretase activity comprising administering to a host in need
of such inhibition a therapeutically effective amount of a compound in any one of claims 1 to
24 that inhibits γ -secretase activity.

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29. A method for the treatment or prophylaxis of Alzheimer's disease, or Down's
Syndrome comprising administering a therapeutically effective amount of a compound of
formula (I) or a pharmaceutically acceptable salt as claimed in any one of claims 1 to 24.

20 30. A pharmaceutical composition comprising a compound of formula (I), as defined in
any one of claims 1 to 24, together with at least one pharmaceutically acceptable carrier,
diluent or excipient.